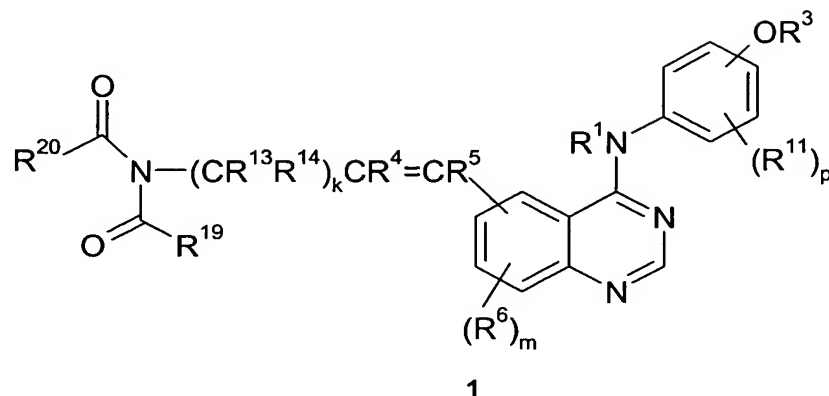


What is claimed is:

1. A method for preparing a compound of formula 1



- 5 acceptable salts, and solvates thereof, wherein:
k is an integer from 1 to 3;
m is an integer from 0 to 3;
p is an integer from 0 to 4;
R¹, R², R⁴, and R⁵ are each independently selected from H and C₁-C₆ alkyl;
- 10 R³ is -(CR¹R²)ₜ(4 to 10 membered heterocyclic), wherein t is an integer from 0 to 5, said heterocyclic group is optionally fused to a benzene ring or a C₅-C₈ cycloalkyl group, the -(CR¹R²)ₜ moiety of the foregoing R³ group optionally includes a carbon-carbon double or triple bond when t is an integer between 2 and 5, and the foregoing R³ group, including any optional fused ring referred to above, is optionally substituted by 1 to 5 R¹⁰ groups;
- 15 each R⁶ is independently selected from halo, hydroxy, -NR¹R², C₁-C₆ alkyl, trifluoromethyl, C₁-C₆ alkoxy, trifluoromethoxy, -NR⁷C(O)R¹, -C(O)NR⁷R⁹, -SO₂NR⁷R⁹, -NR⁷C(O)NR⁹R¹, and -NR⁷C(O)OR⁹;
each R⁷, R⁸ and R⁹ is independently selected from H, C₁-C₆ alkyl, -(CR¹R²)ₜ(C₆-C₁₀ aryl), and -(CR¹R²)ₜ(4 to 10 membered heterocyclic), wherein t is an integer from 0 to 5, 1 or 2
- 20 ring carbon atoms of the heterocyclic group are optionally substituted with an oxo (=O) moiety, the alkyl, aryl and heterocyclic moieties of the foregoing R⁷, R⁸ and R⁹ groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, -NR¹R², trifluoromethyl, trifluoromethoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, hydroxy, and C₁-C₆ alkoxy;
- 25 or each R⁷ and R⁹, or R⁸ and R⁹, when attached to a nitrogen atom, can be taken together to form a 4 to 10 membered heterocyclic ring which may include 1 to 3 additional hetero moieties, in addition to the nitrogen to which said R⁷, R⁸, and R⁹ are attached, selected from N, N(R¹), O, and S, provided two O atoms, two S atoms or an O and S atom are not attached directly to each other;

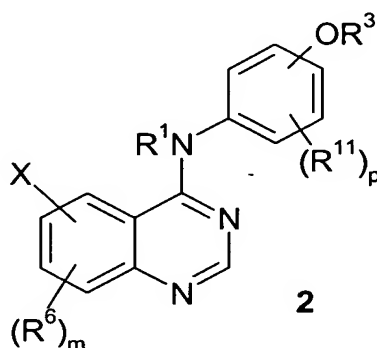
each R^{10} is independently selected from oxo (=O), halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, hydroxy, C_1 - C_6 alkoxy, C_1 - C_{10} alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-NR^7SO_2NR^9R^1$, $-NR^7C(O)NR^1R^9$, $-NR^7C(O)OR^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, $-SO_2NR^7R^9$, $-S(O)_j(C_1$ - C_6 alkyl) wherein j is an integer from 0 to 2, $-(CR^1R^2)_t(C_6$ - C_{10} aryl), $-(CR^1R^2)_t(4$ to 10 membered heterocyclic), $-(CR^1R^2)_qC(O)(CR^1R^2)_t(C_6$ - C_{10} aryl), $-(CR^1R^2)_qC(O)(CR^1R^2)_t(4$ to 10 membered heterocyclic), $-(CR^1R^2)_tO(CR^1R^2)_q(C_6$ - C_{10} aryl), $-(CR^1R^2)_tO(CR^1R^2)_q(4$ to 10 membered heterocyclic), $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t(C_6$ - C_{10} aryl), and $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t(4$ to 10 membered heterocyclic), wherein j is an integer from 0 to 2, q and t are each independently an integer from 0 to 5, 1 or 2 ring carbon atoms of the heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with an oxo (=O) moiety, and the alkyl, alkenyl, alkynyl, aryl and heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, $-OR^7$, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-(CR^1R^2)_t(C_6$ - C_{10} aryl), and $-(CR^1R^2)_t(4$ to 10 membered heterocyclic), wherein t is an integer from 0 to 5;

each R^{11} is independently selected from halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, hydroxy, C_1 - C_6 alkoxy, C_1 - C_{10} alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-NR^7SO_2NR^9R^1$, $-NR^7C(O)NR^1R^9$, $-NR^7C(O)OR^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, $-SO_2NR^7R^9$, $-S(O)_j(C_1$ - C_6 alkyl) wherein j is an integer from 0 to 2, $-(CR^1R^2)_t(C_6$ - C_{10} aryl), $-(CR^1R^2)_t(4$ to 10 membered heterocyclic), $-(CR^1R^2)_qC(O)(CR^1R^2)_t(C_6$ - C_{10} aryl), $-(CR^1R^2)_qC(O)(CR^1R^2)_t(4$ to 10 membered heterocyclic), $-(CR^1R^2)_tO(CR^1R^2)_q(C_6$ - C_{10} aryl), $-(CR^1R^2)_tO(CR^1R^2)_q(4$ to 10 membered heterocyclic), $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t(C_6$ - C_{10} aryl), and $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t(4$ to 10 membered heterocyclic), wherein j is an integer from 0 to 2, q and t are each independently an integer from 0 to 5, 1 or 2 ring carbon atoms of the heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with an oxo (=O) moiety, and the alkyl, alkenyl, alkynyl, aryl and heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, $-OR^7$, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-(CR^1R^2)_t(C_6$ - C_{10} aryl), and $-(CR^1R^2)_t(4$ to 10 membered heterocyclic), wherein t is an integer from 0 to 5;

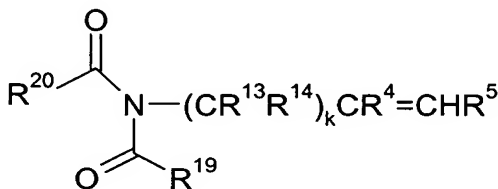
each R^{13} and R^{14} are independently selected from H, C_1 - C_6 alkyl, and $-CH_2OH$;

R^{19} and R^{20} are independently selected from the group consisting of $-(CR^{15}R^{16})_lOR^{17}$ and OR^{18} wherein each R^{15} and R^{16} is independently selected from H, C_1 - C_6 alkyl, and $-CH_2OH$, l is an integer from 1 to 3, R^{17} is C_1 - C_6 alkyl, R^{18} independently is C_1 - C_6 alkyl, provided both R^{19} and R^{20} are not simultaneously $-(CR^{15}R^{16})_lOR^{17}$;

wherein each carbon not bound to a N or O atom, or to S(O)_j, wherein j is an integer from 0 to 2, is optionally substituted with R¹², wherein R¹² is R⁷, -OR⁷, -OC(O)R⁷, -OC(O)NR⁷R⁹, -OCO₂R⁷, -S(O)_jR⁷, -S(O)_jNR⁷R⁹, -NR⁷R⁹, -NR⁷C(O)R⁹, -NR⁷SO₂R⁹, -NR⁷C(O)NR⁸R⁹, -NR⁷SO₂NR⁸R⁹, -NR⁷CO₂R⁹, CN, -C(O)R⁷, or halo, wherein j is an integer from 0 to 2; and wherein any of the above-mentioned substituents comprising a CH₃ (methyl), CH₂ (methylene), or CH (methine) group, which is not attached to a halogen, SO or SO₂ group or to a N, O or S atom, is optionally substituted with a group selected from hydroxy, halo, C₁-C₄ alkyl, C₁-C₄ alkoxy and -NR¹R²; which comprises reacting a compound of formula 2



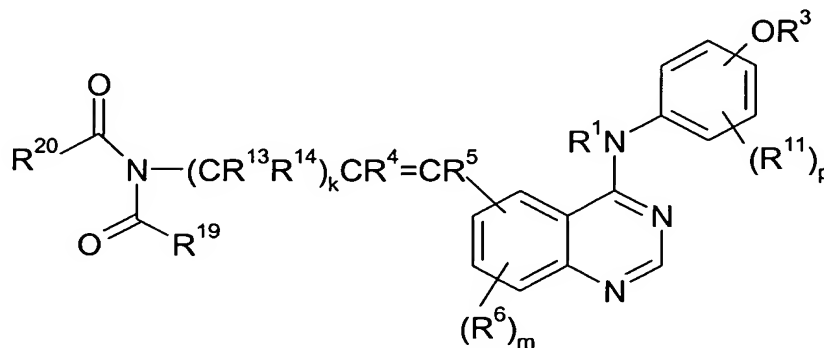
wherein X is a halide and R¹, R³, R⁶, R¹¹, m and p are as defined for formula 1 above, with a compound of formula 3



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wherein R⁴, R⁵, R¹³, R¹⁴, R¹⁹, R²⁰, and k are as defined for formula 1 above, in the presence of a catalyst, a base, and an optional ligand.

2. A method for preparing a compound of formula 1



1

pharmaceutically acceptable salts, solvates and prodrugs thereof, wherein:

m is an integer from 0 to 3;

p is an integer from 0 to 4;

5 each R^1 , R^2 , R^4 , and R^5 is independently selected from H and C_1 - C_6 alkyl;

R^3 is $-(CR^1R^2)_t$ (4 to 10 membered heterocyclic), wherein t is an integer from 0 to 5, said heterocyclic group is optionally fused to a benzene ring or a C_5 - C_8 cycloalkyl group, the $-(CR^1R^2)_t$ moiety of the foregoing R^3 group optionally includes a carbon-carbon double or triple bond when t is an integer between 2 and 5, and the foregoing R^3 groups, including any optional fused rings referred to above, are optionally substituted by 1 to 5 R^{10} groups;

10 each k and l independently is an integer from 1 to 3;

each R^6 is independently selected from halo, hydroxy, $-NR^1R^2$, C_1 - C_6 alkyl, trifluoromethyl, C_1 - C_6 alkoxy, trifluoromethoxy, $-NR^7C(O)R^1$, $-C(O)NR^7R^9$, $-SO_2NR^7R^9$, $-NR^7C(O)NR^9R^1$, and $-NR^7C(O)OR^9$;

15 each R^7 , R^8 and R^9 is independently selected from H, C_1 - C_6 alkyl, $-(CR^1R^2)_t$ (C_6 - C_{10} aryl), and $-(CR^1R^2)_t$ (4 to 10 membered heterocyclic), wherein t is an integer from 0 to 5, 1 or 2 ring carbon atoms of the heterocyclic group are optionally substituted with an oxo (=O) moiety, the alkyl, aryl and heterocyclic moieties of the foregoing R^7 , R^8 and R^9 groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, 20 $-NR^1R^2$, trifluoromethyl, trifluoromethoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, hydroxy, and C_1 - C_6 alkoxy;

or R^7 and R^9 , or R^8 and R^9 , when attached to a nitrogen atom, can be taken together to form a 4 to 10 membered heterocyclic ring which may include 1 to 3 additional hetero moieties, in addition to the nitrogen to which said R^7 , R^8 , and R^9 are attached, selected from 25 N, $N(R^1)$, O, and S, provided two O atoms, two S atoms or an O and S atom are not attached directly to each other;

each R^{10} is independently selected from oxo (=O), halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, hydroxy, C_1 - C_6 alkoxy, C_1 - C_{10} alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-NR^7SO_2NR^9R^1$, $-NR^7C(O)NR^1R^9$, 30 $-NR^7C(O)OR^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, $-SO_2NR^7R^9$, $-S(O)_j(C_1$ - C_6 alkyl) wherein j is an integer from 0 to 2, $-(CR^1R^2)_t$ (C_6 - C_{10} aryl), $-(CR^1R^2)_t$ (4 to 10 membered heterocyclic), $-(CR^1R^2)_qC(O)(CR^1R^2)_t$ (C_6 - C_{10} aryl), $-(CR^1R^2)_qC(O)(CR^1R^2)_t$ (4 to 10 membered heterocyclic), $-(CR^1R^2)_qO(CR^1R^2)_t$ (C_6 - C_{10} aryl), $-(CR^1R^2)_qO(CR^1R^2)_t$ (4 to 10 membered heterocyclic), $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t$ (C_6 - C_{10} aryl), and $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t$ (4 to 10 membered heterocyclic), wherein j is an integer from 0 to 2, q and t are each independently an integer 35 from 0 to 5, 1 or 2 ring carbon atoms of the heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with an oxo (=O) moiety, and the alkyl, alkenyl, alkynyl, aryl and

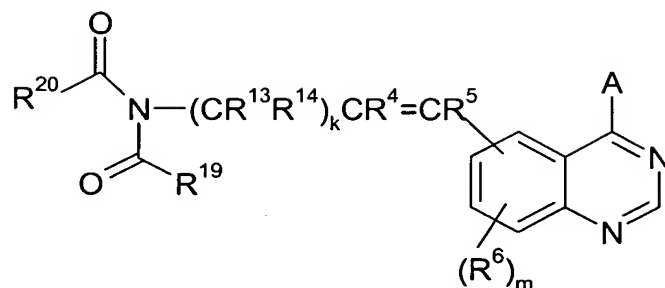
heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, $-OR^7$, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $-(CR^1R^2)_t(C_6-C_{10} \text{ aryl})$, and $-(CR^1R^2)_t(4 \text{ to } 10$
5 membered heterocyclic), wherein t is an integer from 0 to 5;

each R^{11} is independently selected from halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, hydroxy, C_1-C_6 alkoxy, C_1-C_{10} alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-NR^7SO_2NR^9R^1$, $-NR^7C(O)NR^1R^9$, $-NR^7C(O)OR^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$, $-SO_2NR^7R^9$, $-S(O)_j(C_1-C_6 \text{ alkyl})$ wherein j is an integer from 0
10 to 2, $-(CR^1R^2)_t(C_6-C_{10} \text{ aryl})$, $-(CR^1R^2)_t(4 \text{ to } 10 \text{ membered heterocyclic})$, $-(CR^1R^2)_qC(O)(CR^1R^2)_t(C_6-C_{10} \text{ aryl})$, $-(CR^1R^2)_qC(O)(CR^1R^2)_t(4 \text{ to } 10 \text{ membered heterocyclic})$, $-(CR^1R^2)_tO(CR^1R^2)_q(C_6-C_{10} \text{ aryl})$, $-(CR^1R^2)_tO(CR^1R^2)_q(4 \text{ to } 10 \text{ membered heterocyclic})$, $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t(C_6-C_{10} \text{ aryl})$, and $-(CR^1R^2)_qS(O)_j(CR^1R^2)_t(4 \text{ to } 10 \text{ membered heterocyclic})$, wherein j is an integer from 0 to 2, q and t are each independently an integer
15 from 0 to 5, 1 or 2 ring carbon atoms of the heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with an oxo ($=O$) moiety, and the alkyl, alkenyl, alkynyl, aryl and heterocyclic moieties of the foregoing R^{10} groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, $-OR^7$, $-C(O)R^7$, $-C(O)OR^7$, $-OC(O)R^7$, $-NR^7C(O)R^9$, $-C(O)NR^7R^9$, $-NR^7R^9$, $-NR^7OR^9$,
20 C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $-(CR^1R^2)_t(C_6-C_{10} \text{ aryl})$, and $-(CR^1R^2)_t(4 \text{ to } 10 \text{ membered heterocyclic})$, wherein t is an integer from 0 to 5;

each R^{13} , R^{14} , R^{15} and R^{16} is independently selected from H, C_1-C_6 alkyl, and $-CH_2OH$;

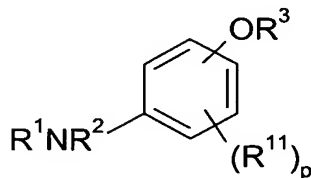
R^{17} is C_1-C_6 alkyl;

25 wherein each carbon not bound to a N or O atom, or to $S(O)_j$, wherein j is an integer from 0 to 2, is optionally substituted with R^{12} , wherein R^{12} is R^7 , $-OR^7$, $-OC(O)R^7$, $-OC(O)NR^7R^9$, $-OCO_2R^7$, $-S(O)_jR^7$, $-S(O)_jNR^7R^9$, $-NR^7R^9$, $-NR^7C(O)R^9$, $-NR^7SO_2R^9$, $-NR^7C(O)NR^8R^9$, $-NR^7SO_2NR^8R^9$, $-NR^7CO_2R^9$, CN, $-C(O)R^7$, or halo, wherein j is an integer from 0 to 2; and wherein any of the above-mentioned substituents comprising a CH_3
30 (methyl), CH_2 (methylene), or CH (methine) group, which is not attached to a halogen, SO or SO_2 group or to a N, O or S atom, is optionally substituted with a group selected from hydroxy, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy and $-NR^1R^2$, which comprises reacting a compound of formula 7



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wherein A is Cl or F and R^4 , R^5 , R^6 , R^{13} , R^{14} , R^{19} , R^{20} , k and m are as defined for formula 1 with a compound of formula 8



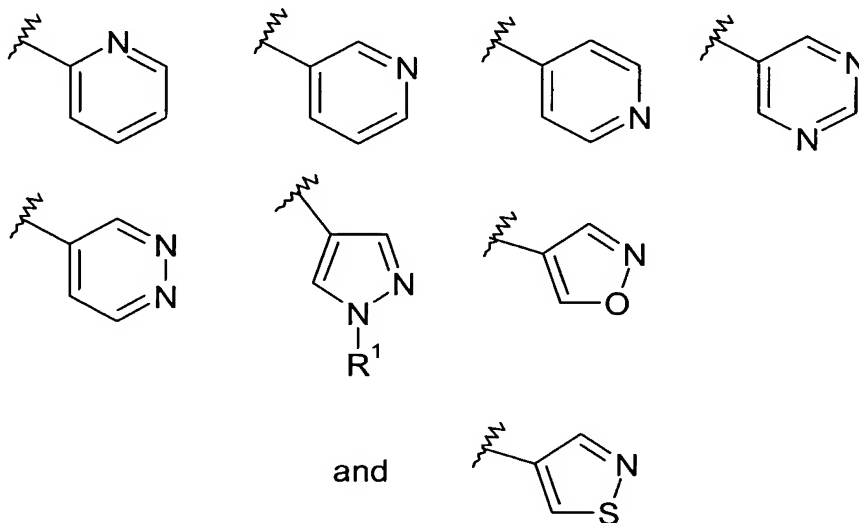
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wherein R^1 , R^2 , R^3 , R^{11} and p are as defined for formula 1.

3. The method according to claims 1 or 2, wherein R^3 is $-(CR^1R^2)_t$ (4 to 10 membered heterocyclic), wherein t is an integer from 0 to 5, and the foregoing R^3 groups are optionally substituted by 1 to 3 R^{10} groups.

4. The method according to claim 3, wherein said heterocyclic group is optionally fused to a benzene ring or a C_5 - C_8 cycloalkyl group, and the foregoing R^3 groups, including any optional fused rings, are optionally substituted by 1 to 3 R^{10} groups.

5. The method according to claims 1 or 2, wherein R^3 is selected from



wherein the foregoing R^3 groups are optionally substituted by 1 to 3 R^{10} groups.

6. The method according to claims 1 or 2, wherein R³ is pyridin-3-yl optionally substituted by 1 to 3 R¹⁰ groups.
7. The method according to claims 1 or 2, wherein R⁴ and R⁵ are both hydrogen.
- 5 8. The method according to claims 1 or 2, wherein R¹³ and R¹⁴ are both hydrogen.
9. The method according to claims 1 or 2, wherein R¹⁵ and R¹⁶ are both hydrogen.
- 10 10. The method according to claims 1 or 2, wherein k is 1.
11. The method according to claims 1 or 2, wherein l is 1.
12. The method according to claims 1 or 2, wherein R¹⁷ is a t-butyl group.
13. The method according to claims 1 or 2, wherein R¹⁹ and R²⁰ are both OR¹⁸ wherein each R¹⁸ independently is C₁-C₆ alkyl.
14. The method according to claims 1 or 2, wherein R¹⁸ is a t-butyl group.
- 15 15. The method according to claim 1, wherein R¹⁹ is -(CR¹⁵R¹⁶)_lOR¹⁷ and R²⁰ is OR¹⁸.
16. The method according to claim 1, wherein X is a halide selected from the group consisting of chloride, bromide and iodide.
17. The method according to claim 1, wherein the catalyst is palladium or nickel
20 catalyst selected from the group consisting of palladium on carbon (Pd/C), Pd(OAc)₂, Pd₂(dba)₃, PdCl₂, Pd(MeCN)₂Cl₂, Pd(PhCN)₂Cl₂, PdCl₂(PPh₃)₂, Pd(PPh₃)₄, BnPdCl(PPh₃)₂, Pd(Otfa)₂, Pd(PPh₃)₂(Otfa)₂, PdCl₂(dppf), Pd(acac)₂, Pd₂(dba)₃-CHCl₃, Ni(PPh₃)₄, Pd(dppb), trans-di(μ-acetato)-bis[o-(di-o-tolylphosphino)benzyl]dipalladium(II), and
bis(1,3-dihydro-1,3-dimethyl-2H-imidazol-2-ylidene)diiodo-palladium
25 diiodo[methylenebis[3-(2-methyl)-1H-imidazol-1-yl-2(3H)-ylidene]]-palladium.
18. The method according to claim 1, wherein said ligand is selected from the group consisting of a polymer bound phosphine, BINAP, dppf, 2-methyl-2'-(dicyclohexylphosphino)biphenyl, 2-dimethylamino-2'-(dicyclohexylphosphino)biphenyl, and P(R²²)₃, wherein each R²² is
30 independently selected from the group consisting of 2-methyl-2'-(dicyclohexylphosphino)biphenyl, 2-dimethylamino-2'-(dicyclohexylphosphino)biphenyl, phenyl, o-tolyl, OMe, and furyl.
19. The method according to claim 1, wherein the base is wherein said base is selected from the group consisting of (R)₃N, (R)₂NH, RNH₂, QX, Q₂CO₃, Q₃PO₄, QO₂CR, wherein Q is selected from the group consisting of (R)₄N, Na, K, Cs, Cu, Cd, and Ca, and wherein each R is independently selected from H, C₁-C₆ alkyl, -(CR¹R²)_t(C₆-C₁₀ aryl), and -(CR¹R²)_t(4 to 10 membered heterocyclic), wherein t is an integer from 0 to 5, 1 or 2 ring

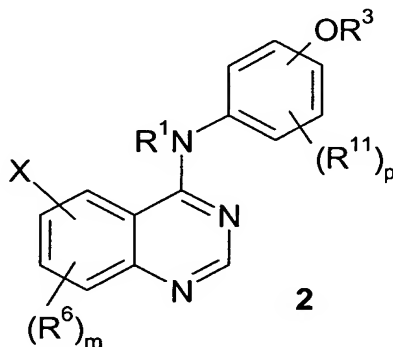
carbon atoms of the heterocyclic group are optionally substituted with an oxo (=O) moiety, the alkyl, aryl and heterocyclic moieties of the foregoing R groups are optionally substituted with 1 to 3 substituents independently selected from halo, cyano, nitro, -NR¹R², trifluoromethyl, trifluoromethoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, and C₁-C₆ alkoxy, and wherein R¹ and R² are independently selected from H and C₁-C₆ alkyl.

20. The method according to claim 1, wherein said reaction is carried out in a solvent selected from the group consisting of toluene, benzene, xylene, dimethylformamide, dimethylacetamide, dioxane, tetrahydrofuran, acetonitrile, N-methylpyrrolidinone, dimethylsulfoxide, dimethoxyethane, CH₂Cl₂, CHCl₃, ClCH₂CH₂Cl, N(C₁-C₆ alkyl)₃, N(benzyl)₃, HO(C₁-C₆ alkyl), acetone, methylethylketone, methylbutylketone, and mixtures thereof.

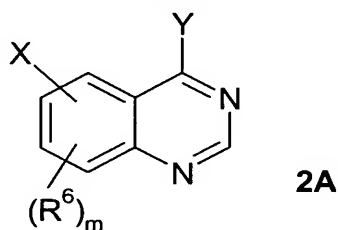
21. The method according to claim 20, wherein said HO(C₁-C₆ alkyl) is 2-propanol, 2-butanol, or a mixture thereof.

22. The method according to claim 1, wherein said reaction is carried out at a temperature ranging from about 25°C to about 175°C.

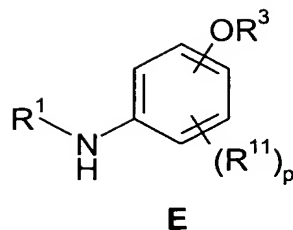
23. The method according to claim 1, wherein the compound of formula 2



is prepared by reacting a compound of formula 2A

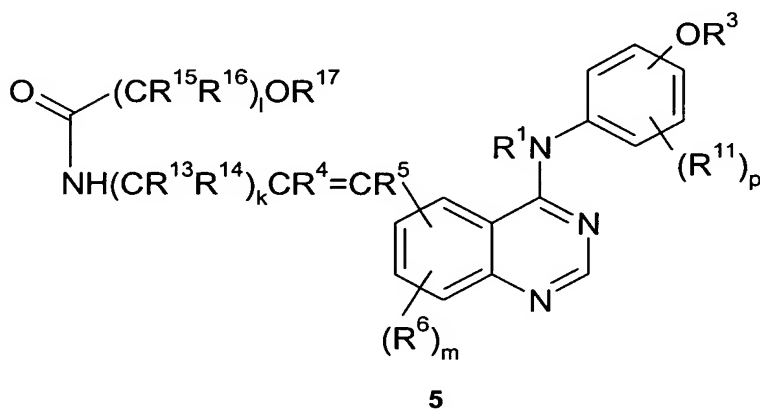


wherein Y is a halide and X, R⁶ and m are as defined for formula 1, with a compound of formula E



wherein R¹, R³, R¹¹, and p are as defined for formula 1.

24. The method according to claim 1, further comprising converting the compound of formula 1 in one or more steps to produce a compound of formula 5



wherein R¹, R³, R⁴, R⁵, R⁶, R¹¹, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, k, l, m, and p are defined for formula 1 in claim 1.

25. The method according to claim 24, wherein the compound of formula 5 is selected from the group consisting of :

E-2-Methoxy-N-(3-{4-[3-methyl-4-(6-methyl-pyridin-3-yloxy)-phenylamino]-quinazolin-6-yl}-allyl)-acetamide;

E-N-(3-{4-[3-Chloro-4-(6-methyl-pyridin-3-yloxy)-phenylamino]-quinazolin-6-yl}-allyl)-2-methoxy-acetamide;

E-N-(3-{4-[3-Chloro-4-(6-methyl-pyridin-3-yloxy)-phenylamino]-quinazolin-6-yl}-allyl)-acetamide;

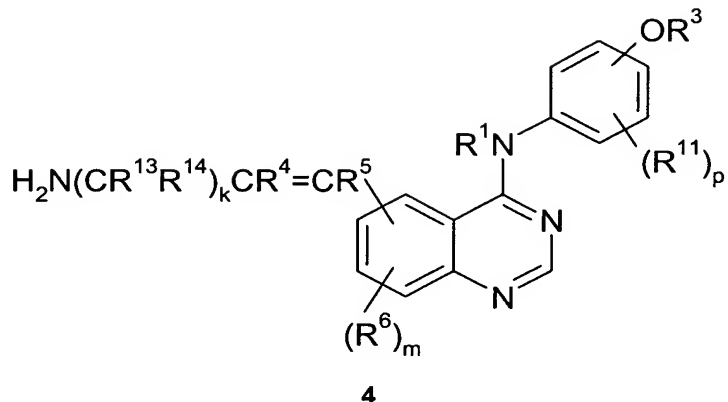
E-2-Ethoxy-N-(3-{4-[3-methyl-4-(6-methyl-pyridin-3-yloxy)-phenylamino]-quinazolin-6-yl}-allyl)-acetamide;

E-N-(3-{4-[3-Methyl-4-(6-methyl-pyridin-3-yloxy)-phenylamino]-quinazolin-6-yl}-allyl)-methanesulfonamide;

and the pharmaceutically acceptable salts, prodrugs and solvates of the foregoing compounds.

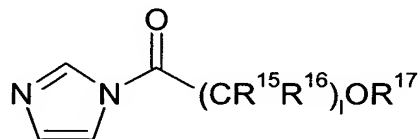
26. The method of claim 24 wherein converting the compound of formula 1 to the compound of formula 5 comprises the steps of :

(a) reacting the compound of formula 1 with an acid to form a compound of formula 4 or a salt thereof



5 and (b) reacting the compound of formula 4 or its salt with $\text{ClC(O)(CR}^{15}\text{R}^{16})_l\text{OR}^{17}$ or a reactive equivalent thereof in the presence of a base to form the compound of formula 5.

27. The method according to claim 26, wherein in step (b), the reactive equivalent of $\text{ClC(O)(CR}^{15}\text{R}^{16})_l\text{OR}^{17}$ is an acid imidazole represented by the formula

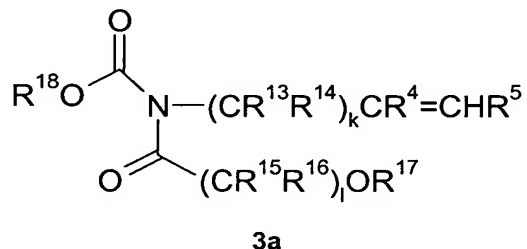


10 or an acid anhydride represented by the formula $[\text{R}^{17}\text{O(CR}^{15}\text{R}^{16})_l\text{C(O)}]_2\text{O}$.

28. The method according to claim 26, wherein in step (b), the base is at least one compound selected from the group consisting of an aqueous hydroxide of an alkali or alkaline earth metal, a carbonate, phosphate or hydrogen phosphate of an alkaline earth metal, an tertiary amine and DABCO.

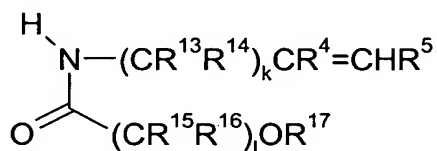
15 29. The method according to claim 26, wherein step (b) comprises reacting the compound of formula 1 with an acid in one step to produce the compound of formula 5.

30. A method for preparing a compound represented by the formula 3a



20 wherein R^4 and R^5 are independently selected from hydrogen and $\text{C}_1\text{-C}_6$ alkyl; each R^{13} , R^{14} , R^{15} and R^{16} is independently selected from hydrogen, $\text{C}_1\text{-C}_6$ alkyl and CH_2OH ; and R^{17} and R^{18} are $\text{C}_1\text{-C}_6$ alkyl; and k and l are independently 1 to 3, comprising the steps of:

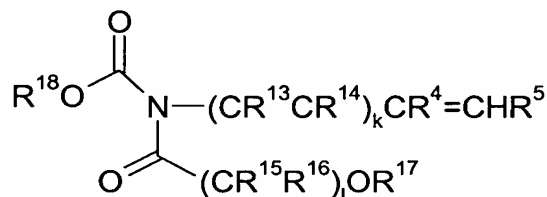
(a) reacting an amine represented by the formula $\text{H}_2\text{N}-(\text{CR}^{13}\text{R}^{14})_k\text{CR}^4=\text{CHR}^5$ wherein R^{13} , R^{14} and k are as defined for formula 3a, with a compound represented by the formula $\text{R}^{17}\text{O}(\text{R}^{16}\text{R}^{15}\text{C})\text{C}(\text{O})\text{X}$ where X is a halide, or a reactive equivalent thereof to form a compound represented by the formula 6



6

and (b) reacting the compound represented by the formula 6 with a compound of formula $(\text{R}^{18}\text{OC}(\text{O}))_2\text{O}$ or a reactive equivalent thereof optionally in the presence of a basic catalyst to form the compound represented by the formula 3a.

31. The method of claim 30, wherein the basic catalyst is dimethylaminopyridine (DMAP).
32. The method of claim 30, wherein R^4 and R^5 are both hydrogen.
33. The method of claim 30, wherein R^{13} , R^{14} , R^{15} and R^{16} are all hydrogen.
34. The method of claim 30, wherein k and l are both 1.
35. The method of claim 30, wherein R^{17} is methyl and R^{18} is t-butyl.
36. A compound represented by the formula 3a



3a

wherein R^4 and R^5 are independently selected from hydrogen and $\text{C}_1\text{-C}_6$ alkyl; each R^{13} , R^{14} , R^{15} and R^{16} is independently selected from hydrogen, $\text{C}_1\text{-C}_6$ alkyl and CH_2OH ; and R^{17} and R^{18} are independently $\text{C}_1\text{-C}_6$ alkyl; and k and l are independently 1 to 3.

37. The compound according to claim 36, wherein R^4 and R^5 are both hydrogen.
38. The compound according to claim 36, wherein R^{13} , R^{14} , R^{15} and R^{16} are all hydrogen.
39. The compound according to claim 36, wherein k and l are both 1.
40. The compound according to claim 36, wherein R^{17} is methyl and R^{18} is t-butyl.